WHAT IS CLAIMED IS:

- 1. A method of treating a subject suffering from breast cancer, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to treat breast cancer in said subject.
 - The method according to claim 1, comprising administering an an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
 - 3. The method of claim 1, wherein said Androgen Receptor Antagonist is an alkylating agent.
 - 4. The method of claim 1, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.
- 15 5. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:

$$(R_3)_m$$
 Z
 NH
 G
 I
 $(R_2)_n$
 Q

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH3, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:

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$$\begin{array}{c|c} & & \\ & & \\ Z & & \\ & & \end{array}$$
 or
$$\begin{array}{c|c} & & \\ & & \\ & & \\ \end{array}$$

Z is NO₂, CN, COR, COOH, or CONHR; Y is CF₃, F, Br, Cl, I, CN, or SnR₃; Q is SCN, NCS, OCN, or NCO; n is an integer of 1-4; and m is an integer of 1-3.

- 6. The method of claim 5, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
 - 7. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

$$A \xrightarrow{NH} \begin{matrix} R_1 & T \\ G & \end{matrix} X \searrow_B$$

 Π

15 wherein

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X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:

B is a ring selected from:

$$Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad W_{1} \qquad Q_{2} \qquad W_{1} \qquad Q_{2} \qquad W_{1} \qquad Q_{2} \qquad W_{1} \qquad Q_{2} \qquad Q_{2$$

wherein A and B cannot simultaneously be a benzene ring;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q1 is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

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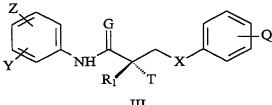
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Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCONHR, NHCOOR, OCONHR, CONHR, NHCOR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

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W₁ is O, NH, NR, NO or S; and W₂ is N or NO.

- The method according to claim 7, wherein G is O, T is OH, R_1 is $\overline{CH_3}$, X is 8. -O, Z is NO_2 , Y is CF_3 , and Q_1 is NCS. 20
 - 9. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



Ш

wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH2F, CHF2,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

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- 10. The method according to claim 9, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
- 11. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

$$Z$$
 NH
 Q
 Q

IV

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wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

12. The method according to claim 1, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:

$$O_2N$$
 CF_3
 O_3
 O_4
 O_5
 O_7
 O_8
 O_8
 O_8
 O_8
 O_8
 O_8
 O_8
 O_8
 O_8

V

- 13. The method according to claim 1, wherein said subject is a female subject.
- 14. The method according to claim 1, wherein said subject is a male subject.

- 15. The method according to claim 1, wherein said administering comprises administering a pharmaceutical preparation comprising said Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
- 16. The method according to claim 15, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subjectsaid pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.
 - 17. The method according to claim 15, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
- 20 18. A method of preventing, suppressing, inhibiting or reducing the incidence of breast cancer in a subject, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to prevent, suppress, inhibit or reduce the incidence of breast cancer in said subject.
- 25 19. The method according to claim 18, comprising administering an an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
- 20. The method of claim 18, wherein said Androgen Receptor Antagonist is an alkylating agent.
 - 21. The method of claim 18, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.

22. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:

$$(R_3)_m$$
 Z
 NH
 G
 $(R_2)_n$
 Q

I

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

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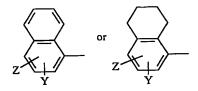
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

 R_3 is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR; Y is CF₃, F, Br, Cl, I, CN, or SnR₃; Q is SCN, NCS, OCN, or NCO; n is an integer of 1-4; and m is an integer of 1-3.

25 23. The method of claim 22, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

24. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

$$A \xrightarrow{NH} \underbrace{\begin{array}{c} R_1 \\ G \end{array}}^T X \xrightarrow{B}$$

II

wherein

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X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:

B is a ring selected from:

$$Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2$$

wherein A and B cannot simultaneously be a benzene ring;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q1 is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

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$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

 W_1 is O, NH, NR, NO or S; and W_2 is N or NO.

- 10 25. The method according to claim 24, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.
 - 26. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.

$$Z$$
 NH
 R_1
 T
 III

15

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wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH3, or NHCOR

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 ,

CF3, CF2CF3, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

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27. The method according to claim 26, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

28. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

$$Z \xrightarrow{\text{NH}} Q$$

IV

wherein

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30.

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

O is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

29. The method according to claim 18, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:

$$O_2N \xrightarrow{CF_3} NH \xrightarrow{H_3C} OH O \\ NCS$$

V

The method according to claim 18, wherein said subject is a female subject.

- 31. The method according to claim 18, wherein said subject is a male subject.
- 32. The method according to claim 18, wherein said administering comprises administering a pharmaceutical preparation comprising said. Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
- The method according to claim 32, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally

administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.

- 34. The method according to claim 32, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
 - 35. A method of delaying the progression of breast cancer in a subject suffering from breast cancer, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to delay the progression of breast cancer in said subject.
 - 36. The method according to claim 35, comprising administering an an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
 - 37. The method of claim 35, wherein said Androgen Receptor Antagonist is an alkylating agent.
 - 38. The method of claim 35, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.
- 20 39. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:

$$(R_3)_m$$
 Z
 NH
 G
 I
 $(R_2)_n$
 Q

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

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R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:

Z is NO₂, CN, COR, COOH, or CONHR; Y is CF₃, F, Br, Cl, I, CN, or SnR₃; Q is SCN, NCS, OCN, or NCO; n is an integer of 1-4; and m is an integer of 1-3.

- 40. The method of claim 39, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
- 41. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

$$A \xrightarrow{NH} \begin{matrix} R_1 & T \\ G & \end{matrix} X \searrow_B$$

20 wherein

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X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:

B is a ring selected from:

$$Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2$$

wherein A and B cannot simultaneously be a benzene ring;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q1 is NCS, SCN, NCO or OCN;

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Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

 W_1 is O, NH, NR, NO or S; and W_2 is N or NO.

42. The method according to claim 41, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.

43. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.

wherein

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X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF3, CF2CF3, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

- 15 44. The method according to claim 43, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
 - 45. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

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IV

wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

O is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

46. The method according to claim 35, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:

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$$O_2N$$
 O_2N
 O_3
 O_3
 O_4
 O_5
 O_5
 O_5
 O_7
 O_7
 O_8
 O_8
 O_8
 O_8
 O_8
 O_8
 O_8

- 47. The method according to claim 35, wherein said subject is a female subject.
- 48. The method according to claim 35, wherein said subject is a male subject.
- 49. The method according to claim 35, wherein said administering comprises administering a pharmaceutical preparation comprising said. Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
 - 50. The method according to claim 49, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subjectsaid pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.
 - 51. The method according to claim 49, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
 - 52. A method of preventing the recurrence of breast cancer in a subject, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to prevent the recurrence of breast cancer in said subject.

- 53. The method according to claim 52, comprising administering an an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
- 54. The method of claim 52, wherein said Androgen Receptor Antagonist is an alkylating agent.
- 55. The method of claim 52, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.
- 10 56. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:

$$(R_3)_m$$
 Z
 NH
 G
 I
 $(R_2)_m$
 Q

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

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T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

 R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 ;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:

$$\begin{array}{c|c} & & \\ & \\ z & \\ & \end{array}$$
 or
$$\begin{array}{c|c} & \\ & \\ & \end{array}$$

Z is NO₂, CN, COR, COOH, or CONHR; Y is CF₃, F, Br, Cl, I, CN, or SnR₃; Q is SCN, NCS, OCN, or NCO; n is an integer of 1-4; and m is an integer of 1-3.

- 57. The method of claim 56, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
- 58. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

$$A \xrightarrow{NH} G^{R_1} \xrightarrow{T} X \xrightarrow{B}$$

 Π

15 wherein

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X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:

B is a ring selected from:

$$Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2$$

wherein A and B cannot simultaneously be a benzene ring;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q₁ is NCS, SCN, NCO or OCN;

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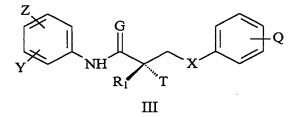
Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

$$\begin{array}{c|c} HN & W_1 & HN & W_1 \\ \hline & Q_4 & Or & W_2 & Q_4 \end{array}$$

Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

W₁ is O, NH, NR, NO or S; and W₂ is N or NO.

- 59. The method according to claim 58, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.
- 60. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.



wherein

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

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- 61. The method according to claim 60, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
- 62. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

IV

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wherein

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

63. The method according to claim 52, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:

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- 64. The method according to claim 52, wherein said subject is a female subject.
- 65. The method according to claim 52, wherein said subject is a male subject.
- 66. The method according to claim 52, wherein said administering comprises administering a pharmaceutical preparation comprising said Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.

- 67. The method according to claim 66, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.
 - 68. The method according to claim 66, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
- 20 69. A method of treating the recurrence of breast cancer in a subject suffering from breast cancer, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to treat the recurrence of breast cancer in said subject.
- 70. The method according to claim 69, comprising administering an an analog,
 derivative, isomer, metabolite, pharmaceutically acceptable salt,
 pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of
 said Androgen Receptor Antagonist, or any combination thereof.
 - 71. The method of claim 69, wherein said Androgen Receptor Antagonist is an alkylating agent.
- The method of claim 69, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.

73. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:

$$(R_3)_m$$
 Z
 NH
 G
 $(R_2)_n$
 Q

I

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

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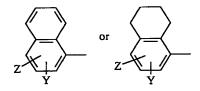
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF3, F, Br, Cl, I, CN, or SnR3;

Q is SCN, NCS, OCN, or NCO;

n is an integer of 1-4; and

m is an integer of 1-3.

74. The method of claim 73, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

75. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

$$A \xrightarrow{NH} \begin{matrix} R_1 & T \\ G & \end{matrix} X \searrow_B$$

wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:

B is a ring selected from:

$$Q_{2} = Q_{1} \qquad Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2$$

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wherein A and B cannot simultaneously be a benzene ring;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q1 is NCS, SCN, NCO or OCN;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃,

NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

 W_1 is O, NH, NR, NO or S; and W_2 is N or NO.

- 76. The method according to claim 75, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.
 - 77. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.

$$X$$
 Y
 NH
 R_1
 T
 T
 T
 T

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wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

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78. The method according to claim 77, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.

79. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

ΓV

wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

80. The method according to claim 69, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:

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81. The method according to claim 69, wherein said subject is a female subject.

V

- 82. The method according to claim 69, wherein said subject is a male subject.
- 83. The method according to claim 69, wherein said administering comprises administering a pharmaceutical preparation comprising said Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
- 84. The method according to claim 83, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally

administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.

- 85. The method according to claim 83, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.
- 86. A method of treating, preventing, suppressing or inhibiting metastasis in a subject suffering from breast cancer, comprising the step of administering to said subject an Androgen Receptor Antagonist, in an amount effective to treat, prevent, suppress or inhibit metastasis in said subject.
- 87. The method according to claim 86, comprising administering an an analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph or prodrug of said Androgen Receptor Antagonist, or any combination thereof.
- 88. The method of claim 86, wherein said Androgen Receptor Antagonist is an alkylating agent.
- 89. The method of claim 86, wherein said Androgen Receptor Antagonist is an alkylating agent which binds irreversibly to an androgen receptor.
- 20 90. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula I:

$$(R_3)_m$$
 NH
 X
 $(R_2)_m$
 Q

I

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X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

 R_3 is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:

Z is NO₂, CN, COR, COOH, or CONHR; Y is CF₃, F, Br, Cl, I, CN, or SnR₃; Q is SCN, NCS, OCN, or NCO; n is an integer of 1-4; and m is an integer of 1-3.

- 91. The method of claim 39, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
 - 92. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula II.

$$A \xrightarrow{NH} \begin{matrix} R_1 & T \\ G & \end{matrix} X \searrow_B$$

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X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:

B is a ring selected from:

$$Q_{2} \qquad Q_{1} \qquad Q_{2} \qquad Q_{2$$

wherein A and B cannot simultaneously be a benzene ring; Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q1 is NCS, SCN, NCO or OCN;

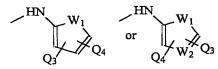
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Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

 W_1 is O, NH, NR, NO or S; and W_2 is N or NO.

93. The method according to claim 92, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q₁ is NCS.

94. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula III.

wherein

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X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO2, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂,

CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

- 15 95. The method according to claim 94, wherein G is O, T is OH, R₁ is CH₃, X is O, Z is NO₂, Y is CF₃, and Q is NCS.
 - 96. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula IV:

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IV

wherein

X is a bond, O, CH2, NH, S, Se, PR, NO or NR;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is SCN, NCS, OCN, or NCO; and

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH.

97. The method according to claim 86, wherein said Androgen Receptor Antagonist is represented by the structure of formula V:

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- 98. The method according to claim 86, wherein said subject is a female subject.
- 99. The method according to claim 86, wherein said subject is a male subject.
- 100. The method according to claim 86, wherein said administering comprises administering a pharmaceutical preparation comprising said. Androgen Receptor Antagonist and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate, N-oxide, crystal, polymorph, prodrug or any combination thereof; and a pharmaceutically acceptable carrier.
 - 101. The method according to claim 100, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting to said subject said pharmaceutical preparation in liquid form; subcutaneously implanting in said subject a pellet containing said pharmaceutical preparation; orally administering to said subject said pharmaceutical preparation in a liquid or solid form; or topically applying to the skin surface of said subject said pharmaceutical preparation.
 - 102. The method according to claim 100, wherein said pharmaceutical preparation is a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, a suppository or a parenteral formulation.